

PATENT COOPERATION TREATY

From the
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

PCT

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

| | | | |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------------------------------------------------------|----------------------------------------------------|--|
| Applicant's or agent's file reference see form PCT/ISA/220 | | FOR FURTHER ACTION See paragraph 2 below | |
| International application No. PCT/EP2005/050697 | International filing date (day/month/year) 17.02.2005 | Priority date (day/month/year) 18.02.2004 | |
| International Patent Classification (IPC) or both national classification and IPC C07D471/04, A61P1/04, A61K31/424, C07D333/38, C07D333/24, C07D277/56, C07D277/30, C07D213/87, | | | |
| Applicant ALTANA PHARMA AG | | | |

1. This opinion contains indications relating to the following items:

- Box No. I Basis of the opinion
- Box No. II Priority
- Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- Box No. IV Lack of unity of invention
- Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- Box No. VI Certain documents cited
- Box No. VII Certain defects in the international application
- Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

| | |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------|
| Name and mailing address of the ISA: | Authorized Officer |
|  <p>European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016</p> | <p>Bosma, P</p> <p>Telephone No. +31 70 340-3665</p>  |

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
 This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material:
 a sequence listing
 table(s) related to the sequence listing
 - b. format of material:
 in written format
 in computer readable form
 - c. time of filing/furnishing:
 contained in the international application as filed.
 filed together with the international application in computer readable form.
 furnished subsequently to this Authority for the purposes of search.
3. In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2005/050697

**Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or
industrial applicability; citations and explanations supporting such statement**

1. Statement

| | | | |
|-------------------------------|------|--------|------|
| Novelty (N) | Yes: | Claims | 1-12 |
| | No: | Claims | |
| | | | |
| Inventive step (IS) | Yes: | Claims | 1-12 |
| | No: | Claims | |
| | | | |
| Industrial applicability (IA) | Yes: | Claims | 1-12 |
| | No: | Claims | |

2. Citations and explanations

see separate sheet

10/589074

AP20 Rec'd PCT/PTO 11 AUG 2005
International application No.

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING
AUTHORITY (SEPARATE SHEET)**

PCT/EP2005/050697

Re Item V.

1 Reference is made to the following document:

D1 : US 4 358 453 A (BRISTOL, JAMES A. ET AL) 9 November 1982 (1982-11-09)

2) Document D1, which is considered to represent the most relevant state of the art, and has been described in the present specification on page 1, discloses (claims 1,8-12,16; example): 1,2,4-triazolo[4,3-a]pyridines which are useful in the treatment of peptic ulcer diseases.

The presently claimed subject matter, covering compounds of formula (1), differs from D1 in that the **Ar-methylamino side chain**, which is attached to the 8-position of the triazolo[4,3-a]pyridine ring system, and **R2 which is attached to the 6-position** of the latter ring system, is regarded as a novel selection from the claimed subject matter of D1.

Moreover novelty over D1 is established by the proviso of independent compound claim 1, excluding all possibly overlapping subject matter (Article 33(2) PCT).

3) The problem to be solved by the present invention may be regarded as the provision of further compounds which are useful as anti peptic ulcer agents.

The solution to this problem proposed in the present claims 1-12 of the present application is considered as involving an inventive step (Article 33(3) PCT) for the following reasons:

There were no incentives from any of the available prior art to have in **fixed position 6 the present specific substituent R2**, in combination with the specific selection of the **Ar-methylamino side chain**, which is attached to the 8-position of the triazolo[4,3-a]-pyridine ring system.

The present compounds are useful as peptic ulcer agents and gastric acid secretion inhibitors.